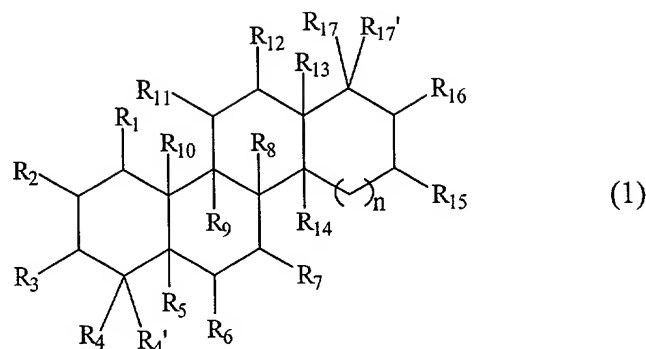


# WHAT IS CLAIMED IS:

1. A compound of formula (1):



wherein

each of R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4</sub>', R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, and R<sub>17</sub>', independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R<sub>3</sub> is X-Y, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R<sub>5</sub> and R<sub>6</sub>, together, are -O-; or R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between C-5 and C-6, and R<sub>7</sub> is oxo;

each of R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub>, independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

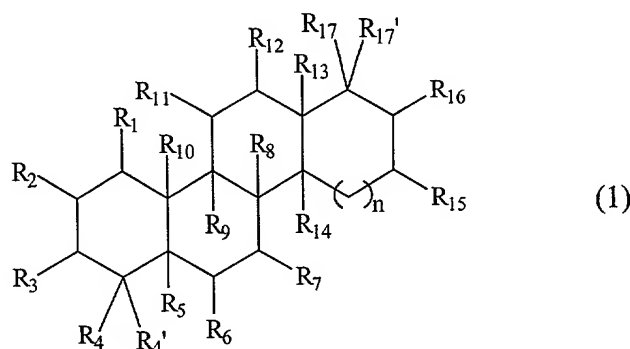
n is 0, 1, or 2.

2. The compound of claim 1, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

3. The compound of claim 1, wherein R<sub>5</sub> and R<sub>6</sub>, together, are -O-.

- 1 4. The compound of claim 3, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.
- 1
- 1 5. The compound of claim 4, wherein X is hydrogen, and Y is -SO<sub>3</sub>.
- 1
- 1 6. The compound of claim 3, wherein -O- is on the  $\alpha$  side of C-5 and C-6.
- 1
- 1 7. The compound of claim 6, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.
- 1
- 1 8. The compound of claim 7, wherein X is hydrogen, and Y is -SO<sub>3</sub>.
- 1
- 1 9. The compound of claim 8, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>,  
2 and R<sub>17</sub> are hydrogen; and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17</sub>, independently, is alkyl.
- 1
- 1 10. The compound of claim 9, wherein the compound is 5 $\alpha$ , 6 $\alpha$ -epoxycholesterol-3-  
2 sulfate.
- 1
- 1 11. An antibody which is specifically against the compound of claim 10.
- 1
- 1 12. The compound of claim 1, wherein R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between  
2 C-5 and C-6, and R<sub>7</sub> is oxo.
- 1
- 1 13. The compound of claim 12, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.
- 1
- 1 14. The compound of claim 13, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.
- 1

15. The compound of claim 14, wherein  $R_1$ ,  $R_2$ ,  $R_4$ ,  $R_4'$ ,  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{14}$ ,  $R_{15}$ ,  $R_{16}$ , and  $R_{17}$  are hydrogen; and each of  $R_{10}$ ,  $R_{13}$ , and  $R_{17}'$ , independently, is alkyl.
16. The compound of claim 15, wherein the compound is 7-keto-cholesterol-3-sulfate.
17. An antibody which is specifically against the compound of claim 16.
18. A method of treating hypocholesterolemia, comprising administering to a subject in need thereof an effective amount of a compound of formula (1):



wherein

each of  $R_1$ ,  $R_2$ ,  $R_4$ ,  $R_4'$ ,  $R_7$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{15}$ ,  $R_{16}$ ,  $R_{17}$ , and  $R_{17}'$ , independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

$R_3$  is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

$R_5$  and  $R_6$ , together, are -O-; or  $R_5$  and  $R_6$ , together, are a double bond between C-5 and C-6, and  $R_7$  is oxo;

each of  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{13}$ , and  $R_{14}$ , independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

$n$  is 0, 1, or 2.

1 19. The method of claim 18, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 20. The method of claim 18, wherein R<sub>5</sub> and R<sub>6</sub>, together, are -O-.

1 21. The method of claim 20, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 22. The method of claim 21, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

1 23. The method of claim 20, wherein -O- is on the  $\alpha$  side of C-5 and C-6.

1 24. The method of claim 23, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 25. The method of claim 24, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

1 26. The method of claim 25, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>,  
2 and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17'</sub>, independently, is alkyl.

1 27. The method of claim 26, wherein the compound is 5 $\alpha$ , 6 $\alpha$ -epoxycholesterol-3-sulfate.

1 28. The method of claim 18, wherein R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between C-5  
2 and C-6, and R<sub>7</sub> is oxo.

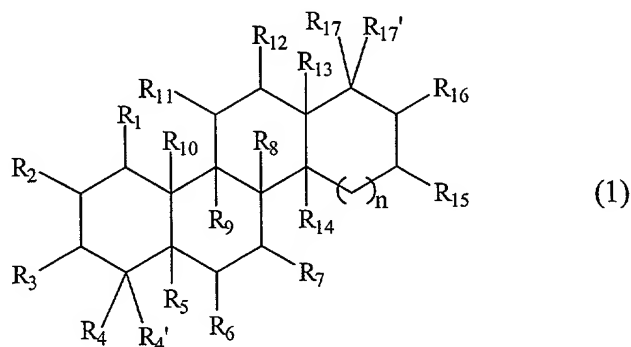
1 29. The method of claim 28, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

30. The method of claim 29, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

31. The method of claim 30, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17'</sub>, independently, is alkyl.

32. The method of claim 31, wherein the compound is 7-keto-cholesterol-3-sulfate.

33. A pharmaceutical composition comprising a compound of formula (1):



wherein

each of R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, and R<sub>17'</sub>, independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R<sub>3</sub> is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R<sub>5</sub> and R<sub>6</sub>, together, are -O-; or R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between C-5 and C-6, and R<sub>7</sub> is oxo;

each of R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub>, independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

n is 0, 1, or 2;

and a pharmaceutically acceptable carrier.

1 34. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 35. The composition of claim 33, wherein R<sub>5</sub> and R<sub>6</sub>, together, are -O-.

1 36. The composition of claim 35, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 37. The composition of claim 36, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

1 38. The composition of claim 35, wherein -O- is on the  $\alpha$  side of C-5 and C-6.

1 39. The composition of claim 38, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-. 40. The composition of claim 39, wherein X is hydrogen, and Y is  
4 -SO<sub>3</sub>-O-.

1 41. The composition of claim 40, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>,  
2 R<sub>16</sub>, and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17'</sub>, independently, is alkyl.

1 42. The composition of claim 41, wherein the compound is 5 $\alpha$ , 6 $\alpha$ -epoxycholesterol-3-  
2 sulfate.

1 43. The composition of claim 33, wherein R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between  
2 C-5 and C-6, and R<sub>7</sub> is oxo.

1 44. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

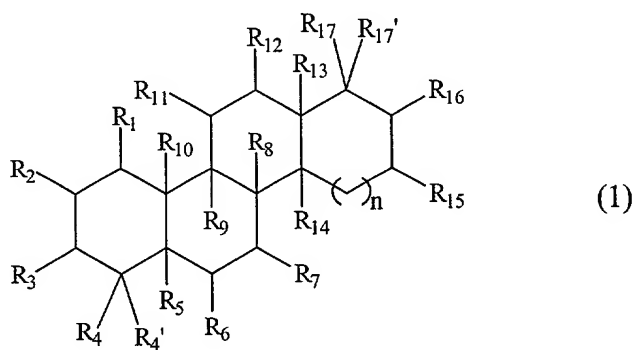
45. The composition of claim 44, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

46. The composition of claim 45, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4</sub>', R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17</sub>', independently, is alkyl.

47. The composition of claim 46, wherein the compound is 7-keto-cholesterol-3-sulfate.

48. A method of evaluating a compound for its agonistic effect on an liver X receptor, comprising:

contacting the compound to be evaluated with the liver X receptor in the presence of a compound of formula (1):



wherein

each of R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4</sub>', R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, and R<sub>17</sub>', independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R<sub>3</sub> is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R<sub>5</sub> and R<sub>6</sub>, together, are -O-; or R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between C-5 and C-6, and R<sub>7</sub> is oxo;

each of R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub>, independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and  
n is 0, 1, or 2; and assessing the agonistic effect of the compound to be evaluated on the liver X receptor.

49. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

50. The method of claim 48, wherein R<sub>5</sub> and R<sub>6</sub>, together, are -O-.

51. The method of claim 50, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

52. The method of claim 51, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

53. The method of claim 50, wherein -O- is on the  $\alpha$  side of C-5 and C-6.

54. The method of claim 51, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

55. The method of claim 54, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

56. The method of claim 55, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4'</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17'</sub>, independently, is alkyl.

57. The method of claim 56, wherein the compound is 5 $\alpha$ , 6 $\alpha$ -epoxycholesterol-3-sulfate.



1 58. The method of claim 48, wherein R<sub>5</sub> and R<sub>6</sub>, together, are a double bond between C-5  
2 and C-6, and R<sub>7</sub> is oxo.

1 59. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO<sub>2</sub>-,  
2 -SO<sub>2</sub>-O-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or  
3 -N(alkyl)-CO-.

1 60. The method of claim 59, wherein X is hydrogen, and Y is -SO<sub>3</sub>-O-.

1 61. The method of claim 60, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>4</sub>', R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>,  
2 and R<sub>17</sub> are hydrogen, and each of R<sub>10</sub>, R<sub>13</sub>, and R<sub>17</sub>', independently, is alkyl.

1 62. The method of claim 61, wherein the compound is 7-keto-cholesterol-3-sulfate.